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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 02	STN pricing information for 2008 now available
NEWS 3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28	MARPAT searching enhanced
NEWS 6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08	STN Express, Version 8.3, now available
NEWS 10	FEB 20	PCI now available as a replacement to DPCI
NEWS 11	FEB 25	IFIREF reloaded with enhancements
NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 29	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
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 NEWS IPC8      For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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FILE 'HOME' ENTERED AT 15:01:17 ON 25 JUN 2008

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 15:01:51 ON 25 JUN 2008
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STRUCTURE FILE UPDATES:   24 JUN 2008  HIGHEST RN 1030471-05-6
DICTIONARY FILE UPDATES:  24 JUN 2008  HIGHEST RN 1030471-05-6

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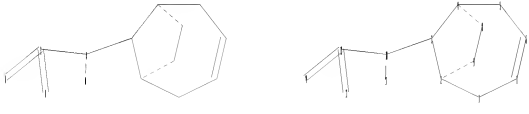

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chain nodes :
10  11  12  13  14
ring nodes :
1  2  3  4  5  6  7  8  9
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chain bonds :
3-10  10-11  10-12  12-13  12-14
ring bonds :
1-2  1-7  2-3  2-9  3-4  4-5  4-8  5-6  6-7  8-9
exact/norm bonds :
2-9  3-10  4-8  10-12  12-13  12-14
exact bonds :
1-2  1-7  2-3  3-4  4-5  5-6  6-7  8-9  10-11
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  13:CLASS  14:CLASS



L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1                STR
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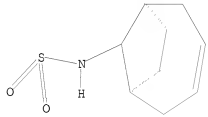


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Structure attributes must be viewed using STN Express query preparation.


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FULL SEARCH INITIATED 15:02:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      496 TO ITERATE

100.0% PROCESSED      496 ITERATIONS                                 13 ANSWERS
SEARCH TIME: 00.00.01

L2            13 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  178.36     178.57

FILE 'CAPLUS' ENTERED AT 15:02:13 ON 25 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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```

FILE COVERS 1907 - 25 Jun 2008 VOL 148 ISS 26
FILE LAST UPDATED: 24 Jun 2008 (20080624/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

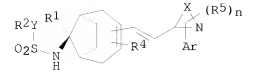
=> s l2 full
L3 4 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:141037 CAPLUS
DOCUMENT NUMBER: 142:240436
TITLE: Preparation of spirobicyclononenethiadiazole dioxides and related compounds as γ-secretase inhibitors
INVENTOR(S): Bettati, Michela; Boase, Amanda Louise; Churcher, Ian; Ladduwahetty, Tamara; Merchant, Kevin John; Quddus, Abdul
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014553	A1	20050217	WO 2004-GB3277	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263353	A1	20050217	AU 2004-263353	20040729
CA 2534057	A1	20050217	CA 2004-2534057	20040729
EP 1658272	A1	20060524	EP 2004-743604	20040729
EP 1658272	B1	20070725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1832927	A	20060913	CN 2004-80022454	20040729
JP 2007501206	T	20070125	JP 2006-522390	20040729
AT 368031	T	20070815	AT 2004-743604	20040729
ES 2289537	T3	20080201	ES 2004-743604	20040729
IN 2006DN00193	A	20070810	IN 2006-DN193	20060110
US 20060189666	A1	20060824	US 2006-566486	20060130

PRIORITY APPLN. INFO.: GB 2003-18447 A 20030805
WO 2004-GB3277 W 20040729
OTHER SOURCE(S): CASREACT 142:240436; MARPAT 142:240436
GI



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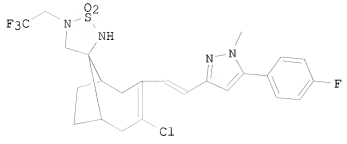


II

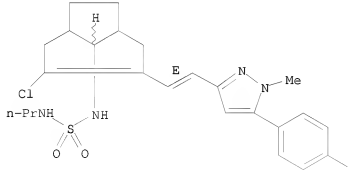
AB Title compds. [I; n = 0, 1; X = atoms to form a 5-6 membered heteroarom. ring; R5 = (halo-substituted) hydrocarbyl; Ar = (substituted) Ph, 6-membered heteroaryl; Y = bond, NR3; R1 = H; R1R3 = CH2; R2 = (halo-substituted) hydrocarbyl, (substituted) 5-6 membered heteroaryl; R2R3 = atoms to form a (substituted) heterocyclic ring of ≤6 members; R3 = H, alkyl; R4 = halo, alkyl], were prepared as γ-secretase inhibitors (no data). Thus title compound (II) was prepared in several steps from bicyclo[4.2.1]non-3-en-9-one, tert-Bu sulfinamide, F3CCH2NH2, POCl3/DMF, and [5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]methyltriphenylphosphonium chloride.

IT 844880-01-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spirobicyclononenethiadiazole dioxides and related compds. as γ-secretase inhibitors)

RN 844880-01-9 CAPLUS

CN Sulfamide, N-[3-chloro-4-[(1E)-2-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]ethenyl]bicyclo[4.2.1]non-3-en-9-yl]-N'-propyl- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:133023 CAPLUS
DOCUMENT NUMBER: 138:169963
TITLE: Synthesis of sulfonamido-substituted bridged bicycloalkyl derivatives for control of beta-amyloid production
INVENTOR(S): Hannam, Joanne Claire; Harrison, Timothy; Madin, Andrew; Sparey, Timothy Jason
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013506	A1	20030220	WO 2002-GB3559	20020731
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002355359	A1	20030224	AU 2002-355359	20020731
US 20040186147	A1	20040923	US 2004-484290	20040120
US 7205434	B2	20070417		

PRIORITY APPLN. INFO.: GB 2001-19152 A 20010806
WO 2002-GB3559 W 20020731
OTHER SOURCE(S): MARPAT 138:169963
GI

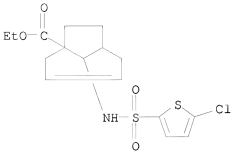
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A,B = together with the carbon atoms bonded to L1R4 and H complete a (un)substituted ring containing 5-10 carbon atoms; R1 = H, alkyl, alkenyl; R2 = H, acyl; R3 = alkyl, cycloalkyl, alkenyl, alkynyl, aryl, etc.; R4 = H, halo, aryl, heterocyclyl, CN, alkoxy, amino, etc.; L1 = bond, alkylene, etc.] are prepared For instance, Et cyclopentanone-2-carboxylate was reacted with o-xylylene dibromide (DMF, NaOEt) and the resulting adduct treated with LDA in THF at -78° to give II. II was treated in the following manner: i. THF, H2NOH•HCl, NaOAc; ii. HOAc, H2-PtO; iii. CH2Cl3, Et3N, 5-chlorothiophenesulfonyl chloride and iv. THF, LAH to provide sulfonamide III. I modulate the production of β-amyloid from amyloid precursor protein and are useful in the treatment of Alzheimer's disease.

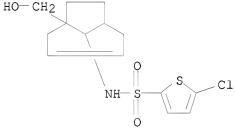
IT 497862-61-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. for control of beta-amyloid production)

RN 497862-61-0 CAPLUS
CN Bicyclo[4.2.1]non-3-ene-1-carboxylic acid, 9-[[(5-chloro-2-

thienyl)sulfonyl]amino]-, ethyl ester, (1R,6R,9S)-rel- (CA INDEX NAME)



IT 497862-62-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. for control of beta-amyloid production)
RN 497862-62-1 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(1R,6R,9S)-1-(hydroxymethyl)bicyclo[4.2.1]non-3-en-9-yl]-, rel- (CA INDEX NAME)



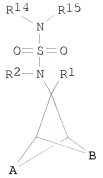
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:353420 CAPLUS
DOCUMENT NUMBER: 136:369505
TITLE: Synthesis of sulfonamido-substituted bridged bicycloalkyl derivatives as γ-secretase inhibitors
INVENTOR(S): Collins, Ian James; Hannam, Joanne Claire; Harrison, Timothy; Lewis, Stephen John; Madin, Andrew; Sparey, Timothy Jason; Williams, Brian John
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036555	A1	20020510	WO 2001-GB4817	20011029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427206	A1	20020510	CA 2001-2427206	20011029
AU 2002010747	A	20020515	AU 2002-10747	20011029
EP 1334085	A1	20030813	EP 2001-978652	20011029
EP 1334085	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513108	T	20040430	JP 2002-539315	20011029
JP 3880051	B2	20070214		
AT 302753	T	20050915	AT 2001-978652	20011029
ES 2248397	T3	20060316	ES 2001-978652	20011029
AU 2002210747	B2	20060601	AU 2002-210747	20011029
US 20040049038	A1	20040311	US 2003-415751	20030501
US 7138400	B2	20061121		
JP 2006241163	A	20060914	JP 2006-78136	20060322

PRIORITY APPLN. INFO.:			
	GB 2000-26827	A	20001102
	GB 2001-22685	A	20010920
	JP 2002-539315	A3	20011029
	WO 2001-GB4817	W	20011029

OTHER SOURCE(S): MARPAT 136:369505
GI



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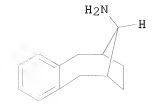

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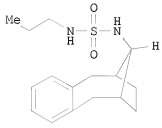


III

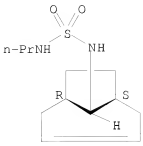
AB Title compds. I [A, B = (CXY)p, (CXY)qCY=CY(CXY)r, (CXY)xNR13(CXY)y, etc.; X = halo, R9, OR9, SR9, S(O)1-2R10, OSO2R9, N(R9)2, COR9, CO2R9, etc.; Y = H, alkyl or X, Y together = O, S, N-OR11, CHR11; provided neither A nor B comprises more than one CXY moiety which is other than CH2; p = 1-6; q, r, x, y = 0-2; provided that at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R1 = H, alk(en)yl or R1 and R15 together may complete a 5-, 6- or 7-membered cyclic sulfamide; R2 = H, Cl, alkyl, aryl, aryl-alkyl, cycloalkyl, acyl, etc.; R9 = H or R10 or two R9 groups together with a nitrogen atom to which they are mutually attached may complete a pyrrolidine, piperidine, piperazine, etc.; R10 = alkyl, perfluoroalkyl, cycloalkyl, etc.; R11 = H, alkyl, etc.; R14 = H, alkyl, etc.; R15 = H, alkyl or R15 and R1 together complete a 5-, 6- or 7-membered cyclic sulfamide] were prepared Over 150 synthetic examples were disclosed. For instance, prior art amine II was sulfonylated with catechol sulfate and the intermediate treated with n-PrNH2 (dioxane, 80°C, 1 h) to give III. I are inhibitors of γ-secretase and are cytotoxic with EC50 < 10 μM for human app695. Compds. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.

IT 423167-24-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as γ-secretase inhibitors)

RN 423167-24-2 CAPLUS

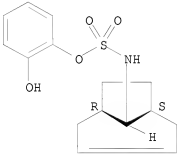
CN Sulfamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-N'-propyl- (CA INDEX NAME)

Relative stereochemistry.



IT 423168-72-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as γ-secretase inhibitors)
RN 423168-72-3 CAPLUS
CN Sulfamic acid, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-, 2-hydroxyphenyl ester (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:713298 CAPLUS
DOCUMENT NUMBER: 135:272746
TITLE: Synthesis of sulfonamido-substituted bridged bicycloalkyl derivatives as γ-secretase inhibitors
INVENTOR(S): Belanger, Patrice Charles; Collins, Ian James; Hannam, Joanne Claire; Harrison, Timothy; Lewis, Stephen John; Madin, Andrew; McIver, Edward Giles; Nadin, Alan John; Neduvelil, Joseph George; Shearman, Mark Steven; Smith, Adrian Leonard; Sparey, Timothy Jason; Stevenson, Graeme Irvine; Teall, Martin Richard
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK; Merck Frosst Canada + Co.
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FAMILY ACC. NUM. COUNT: 2
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070677	A1	20010927	WO 2001-GB1154	20010315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2404125	A1	20010927	CA 2001-2404125	20010315
EP 1268412	A1	20030102	EP 2001-911940	20010315
EP 1268412	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528076	T	20030924	JP 2001-568889	20010315
AU 2001240861	B2	20060330	AU 2001-240861	20010315
AT 346039	T	20061215	AT 2001-911940	20010315
ES 2275657	T3	20070616	ES 2001-911940	20010315
US 20040029862	A1	20040212	US 2003-239233	20030205
US 7365196	B2	20080429		
JP 2006241163	A	20060914	JP 2006-78136	20060322

PRIORITY APPLN. INFO.:			
	GB 2000-6717	A	20000320
	GB 2000-26827	A	20001102
	WO 2001-GB1154	W	20010315
	GB 2001-22685	A	20010920
	JP 2002-539315	A3	20011029

OTHER SOURCE(S): MARPAT 135:272746
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

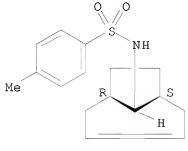
AB Title compds. I [A, B = (CXY)p; (CXY)qCY:CY(CXY)r; (CXY)xNR13(CXY)y; etc.; X = halo, alkoxy, sulf(a/i/o)nyl, amino, acyl, etc.; Y = H, alkyl; or X and Y together represent :O, :S, :N-OR, :CH; provided neither A nor B comprises more than one -CXY-moiety which is other than CH; Z completes a

(non)aromatic ring system of 5 to 10 atoms, of which 0 to 3 are selected from N, O and S and the remainder are C; Z1 completes a nonarom. ring system of 5 to 10 atoms, of which 0 to 3 are independently selected from O, N and S and the remainder are C; Z2 completes a 5- or 6-membered heteroaryl ring; m, n = 0 - 1; p = 1 - 6; q, r, = 0 - 2; x, y = 0 - 2; provided that when m = n = 0, at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R1 = H, alkyl, alkenyl; R2 = H, alkyl, aryl(alkyl), cycloalkyl, acyl; R3 = (cyclo)alkyl, alkenyl, alkynyl, (hetero)arylalkyl, etc.] were prepared Over 270 synthetic examples were disclosed. For instance, 1,2-Bis(bromomethyl)benzene was added to 1-cyclopent-1-enylpyrrolidine (CH3CN, (i-Pr)2NEt) to give iminum bromide II. II was converted to the oxime (EtOHaq, NH2OH, NaOAc); the oxime was reduced (HOAc, PtO2, H2 @ 30 psi, 2 h) and the resulting amine sulfonylated (DCM, pyridine, p-TsCl, 16 h) to give III. I are inhibitors of γ-secretase and are cytotoxic with EC50 < 10 μM for human app695. Compds. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.

IT 362654-13-5P 362654-14-6P 362654-15-7P
362654-16-8P 362654-17-9P 362654-66-8P
362654-67-9P 362654-68-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl derivs. as γ-secretase inhibitors)

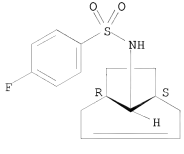
RN 362654-13-5 CAPLUS
CN Benzenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-4-methyl- (CA INDEX NAME)

Relative stereochemistry.



RN 362654-14-6 CAPLUS
CN Benzenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-4-fluoro- (CA INDEX NAME)

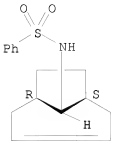
Relative stereochemistry.



RN 362654-15-7 CAPLUS

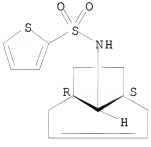
CN Benzenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl- (CA INDEX NAME)

Relative stereochemistry.



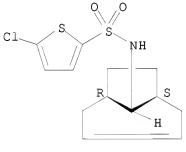
RN 362654-16-8 CAPLUS
CN 2-Thiophenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl- (CA INDEX NAME)

Relative stereochemistry.



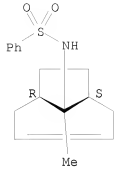
RN 362654-17-9 CAPLUS
CN 2-Thiophenesulfonamide, N-(9-syn)-bicyclo[4.2.1]non-3-en-9-yl-5-chloro- (CA INDEX NAME)

Relative stereochemistry.



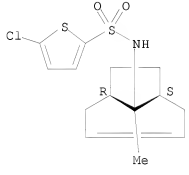
RN 362654-66-8 CAPLUS
CN Benzenesulfonamide, N-[(9-syn)-9-methylbicyclo[4.2.1]non-3-en-9-yl]- (CA INDEX NAME)

Relative stereochemistry.



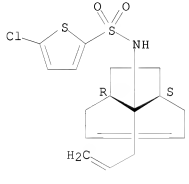
RN 362654-67-9 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(9-syn)-9-methylbicyclo[4.2.1]non-3-en-9-yl]- (CA INDEX NAME)

Relative stereochemistry.



RN 362654-68-0 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-[(9-syn)-9-(2-propen-1-yl)bicyclo[4.2.1]non-3-en-9-yl]- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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